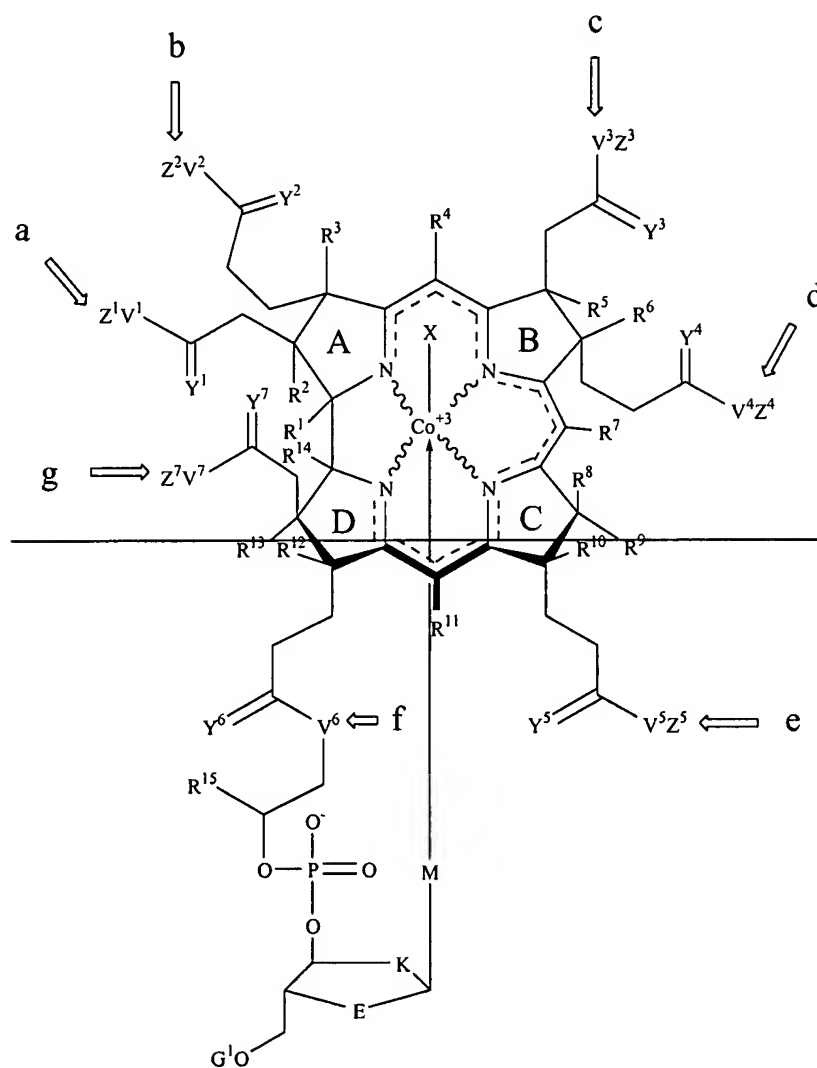


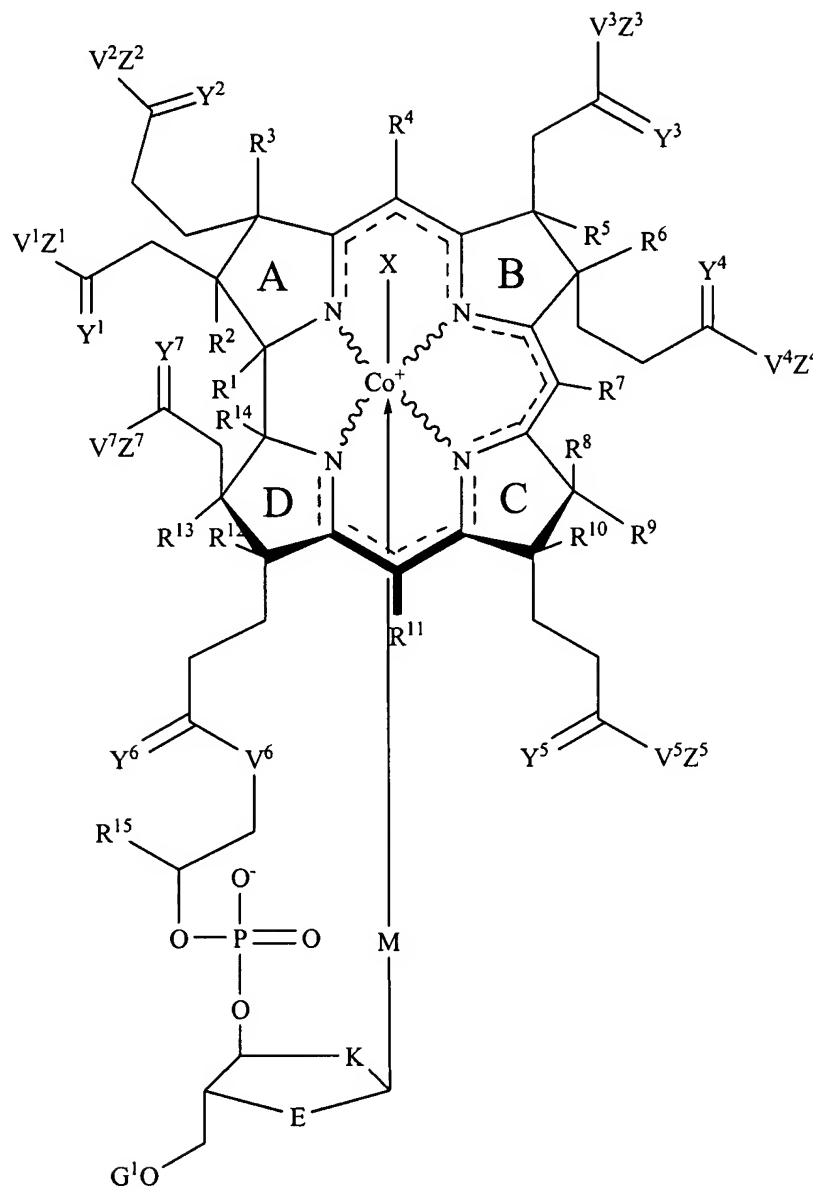
**Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

1. (currently amended) A compound of the formula (I):





(I)

or its enantiomer, diastereomer or its pharmaceutically acceptable salt, wherein:

- (i) the wavy line in the chemical structure indicates either a dative or covalent bond such that there are three dative Co-N bonds and one covalent Co-N bond, wherein, in the case of the dative bond, the valence of nitrogen is completed either with a double bond with an adjacent ring carbon or with a hydrogen;

- (ii) the dotted line in the chemical structure indicates either a double or single bond such that the double bond does not form a pentavalent carbon or otherwise over-extend the valence of the element (i.e. to give pentavalent carbons) and, in the case of a single bond, the valence is completed with hydrogen;
- (iii) X is hydrogen, cyano, ~~halogen~~ (Cl, F, Br, [ or ] I), CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, CF<sub>2</sub>Cl, or other haloalkyl (including CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub> and CF<sub>2</sub>Cl), NO, NO<sub>2</sub>, NO<sub>3</sub>, alkyl-P(O)<sub>2</sub>OR<sup>15</sup>, or other phosphonate (including alkyl-P(O)<sub>2</sub>OR<sup>15</sup>), PR<sup>15</sup>R<sup>16</sup>R<sup>17</sup>, NH<sub>2</sub>, NR<sup>15</sup>R<sup>16</sup>, OH, OR<sup>15</sup>, SR<sup>15</sup>, SCN, N<sub>3</sub>, OC(O)R<sup>15</sup>, C(O)<sub>2</sub>R<sup>15</sup>, C(O)R<sup>15</sup>, OC(O)NR<sup>15</sup>R<sup>16</sup>, C(O)<sub>2</sub>NR<sup>15</sup>R<sup>16</sup>, C(O)NR<sup>15</sup>R<sup>16</sup>, P(O)<sub>2</sub>OR<sup>15</sup>, S(O)<sub>2</sub>OR<sup>15</sup>, a purine or pyrimidine nucleoside or nucleoside analog, adenosyl, 5-FU, alkyl, alkenyl, alkynyl, aryl, aralkyl, alkaryl, amino acid, peptide, protein, carbohydrate, heteroalkyl, heterocycle, heteroaryl or alkylheteroaryl;
- (iv) M is a monovalent heterocycle or heteroaromatic, which is capable of binding to the adjacent sugar ring, and forming a dative bond with  $\text{Co}^{+3}$  Co<sup>+</sup>;
- (v) K is O, S, NJ<sup>1</sup>, C(OH)H, CR<sup>100</sup>R<sup>101</sup> or C(R<sup>100</sup>)V<sup>8</sup>Z<sup>8</sup>;
- (vi) E is O or S;
- (vii) G<sup>1</sup> is hydrogen, alkyl, acyl, silyl, phosphate or L-T;
- (viii) Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup>, Y<sup>4</sup>, Y<sup>5</sup>, Y<sup>6</sup> and Y<sup>7</sup> independently are O, S or NJ<sup>2</sup>;
- (ix) V<sup>1</sup>, V<sup>2</sup>, V<sup>3</sup>, V<sup>4</sup>, V<sup>5</sup>, V<sup>6</sup>, V<sup>7</sup> and V<sup>8</sup> independently are O, S, NJ<sup>3</sup>, CR<sup>102</sup>R<sup>103</sup> or a direct bond;
- (x) Z<sup>1</sup>, Z<sup>2</sup>, Z<sup>3</sup>, Z<sup>4</sup>, Z<sup>5</sup>, Z<sup>7</sup> and Z<sup>8</sup> independently are R<sup>104</sup> or L-T;
- (xi) each L is independently ~~a direct bond or a linker comprising a natural amino acid in D- or L- form, an unnatural amino acid, or a peptide linker, of a unimodal singular-molecular weight, wherein the linker is linked to one or more T moieties, and that does not significantly impair the ability of the TC or IF binding carrier to bind to a transcobalamin receptor,~~ such that the compound displays a binding

affinity to a transcobalamin receptor of at least 50% of the binding affinity displayed by vitamin B<sub>12</sub>, optionally when bound to a transport protein;

- (xii) each T independently comprises the residue of a therapeutic and/or diagnostic agent effective for the treatment, prophylaxis and/or diagnosis of a proliferative disorder, optionally bound through a chelating moiety;
- (xiii) at least one of Z<sup>1</sup>, Z<sup>2</sup>, Z<sup>3</sup>, Z<sup>4</sup>, Z<sup>5</sup>, Z<sup>7</sup>, Z<sup>8</sup>, K and G<sup>1</sup> is L-T;
- (xiv) J<sup>1</sup>, J<sup>2</sup> and J<sup>3</sup> independently are hydrogen, alkyl, alkenyl, alkynyl, alkaryl, cycloalkyl, aryl, cycloaryl, heteroalkyl, heterocycle, heteroaryl, hydroxyl, alkoxy or amine;
- (xv) R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> independently are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, heteroalkyl, heterocyclic, lower alkoxy, azido, amino, lower alkylamino, halogen, thiol, SO<sub>2</sub>, SO<sub>3</sub>, carboxylic acid, C<sub>1-6</sub> carboxyl, hydroxyl, nitro, cyano, oxime or hydrazine;
- (xvi) R<sup>13</sup> and R<sup>14</sup> optionally can form a double bond;
- (xvii) R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, aryl, alkaryl or aralkyl group, heteroalkyl, heterocycle or heteroaromatic; and
- (xviii) R<sup>100</sup>, R<sup>101</sup>, R<sup>102</sup>, R<sup>103</sup>, and R<sup>104</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, aryl, acyl, heteroaromatic, heteroaryl, heteroalkyl, hydroxyl, alkoxy, cyano, azido, halogen, nitro, SO<sub>2</sub>, SO<sub>3</sub>, thioalkyl or amino;
- (xix) wherein at least one of ~~Y, R, G, E, K, M and V~~ Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup>, Y<sup>4</sup>, Y<sup>5</sup>, Y<sup>6</sup>, Y<sup>7</sup>, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup>, R<sup>100</sup>, R<sup>101</sup>, R<sup>102</sup>, R<sup>103</sup>, R<sup>104</sup>, G<sup>1</sup>, E, K, M, V<sup>1</sup>, V<sup>2</sup>, V<sup>3</sup>, V<sup>4</sup>, V<sup>5</sup>, V<sup>6</sup>, V<sup>7</sup>, and V<sup>8</sup> is not as it is found in natural vitamin B<sub>12</sub>.

2. (original) The compound of claim 1, wherein at least one T is selected from the group consisting of cisplatin, taxol, taxotere (docetaxel), daunorubicin (daunomycin);

- rubidomycin), doxorubicin, rubidazone and idarubicin (idarubicin; 4-demethoxy-daunorubicin).
3. (original) The compound of claim 1, wherein at least one T is a detectable and/or therapeutic radionuclide.
  4. (canceled)
  5. (currently amended) The compound of any one of claims 1-3, wherein at least one -L-T is independently a poly(amino acid) residue ~~bound to one or more T~~ comprising one or more T.
  6. (original) The compound of claim 5, wherein at least one -L-T is independently a poly-L-lysine -NR'(CH((CH<sub>2</sub>)<sub>4</sub>-NHR')CONR')<sub>m</sub>R', wherein each R' is independently hydrogen, lower alkyl or T; and m is 2-20.
  7. (currently amended) The compound of any one of claims 1-3, wherein at least one -L-T is independently a ~~polyamine residue of the formula -NR'(alkylene NR')<sub>n</sub>alkyleneNR'R'~~, ~~wherein each R' is independently hydrogen, lower alkyl or T and n is 1-20~~ poly(amino acid) residue selected from the group consisting of poly-L-glutamic acid, poly-L-aspartic acid, poly-L-histidine, poly-L-ornithine, poly-L-serine, poly-L-threonine, poly-L-tyrosine, poly-L-lysine-L-phenylalanine, and poly-L-lysine-L-tyrosine.
  8. (currently amended) The compound of claim ~~7, 1,~~ wherein ~~-NR'(alkylene NR')<sub>n</sub>alkyleneNR'~~ is selected from the group consisting of ~~-NR'(CH<sub>2</sub>)<sub>3</sub>NR'(CH<sub>2</sub>)<sub>4</sub>NR'(CH<sub>2</sub>)<sub>3</sub>NR'R' (spermine); -NR'(CH<sub>2</sub>)<sub>3</sub>NR'(CH<sub>2</sub>)<sub>4</sub>NR'R' (spermidine); decamethylene tetraamine and pentamethylene hexamine~~ the linker is a

peptide that comprises multiple cysteines, multiple glutamates, multiple aspartates, multiple histidines, or multiple tyrosines.

9. (canceled)

10. (canceled)

11. (currently amended) The compound of claim 1, wherein T is not a residue of a therapeutic agent selected from the group consisting of hormone, growth factor, interleukin, cytokines, lymphokines, GCSF, EPO, ~~interferon ( $\alpha$ ,  $\beta$ ,  $\gamma$ ),  $\alpha$ -interferon,  $\beta$ -interferon,  $\gamma$ -interferon~~, calcitonin, TRH, vasopressin, desmopressin [~~Folia Endocrinologica Japonica 54, No. 5, p. 676-691 (1978)~~], oxytocin, insulin, Growth Hormone, testosterone, somatotrophin, somatostatin (~~U.S. Patent Nos. 4,087,390 and 4,100,117~~), SCGF, (stem cell growth factor), CGRP, Erythropoietin, ~~Colony Stimulating factors~~ (GCSF, GM-CSF, CSF[]), pregnant mare serum gonadotrophin (PMSG), human chorionic gonadotrophin (HCG), Inhibin, PAI-2; neomycin, salbutamol, pyrimethamine, penicillin G, methicillin, carbenicillin, pethidine, xylazine, ketamine, mephesisin, GABA, iron dextran, nucleotide analogues or ribozyme, prolactin, adrenocorticotrophic hormone (ACTH), melanocyte stimulating hormone (MSH), thyroid hormone releasing hormone (TRH) (~~U.S. Patent No. 4,100,152~~), thyroid stimulating hormone (TSH), luteinizing hormone (LH), luteinizing hormone releasing hormone (LHRH), follicle stimulating hormone (FSH), oxytocin, calcitonin, parathyroid hormone, glucagon, gastrin, secretin, pancreozymin, cholecystokinin angiotensin, human placental lactogen, human chorionic gonadotropin (HCG), enkephalin [~~U.S. Pat. No. 4,277,394, European patent application Publication No. 31567~~], endorphin, kyotorphin, interleukins (I, II, and III), tuftsin, thymopoietin, thymosin, thymostimulin, thymic humoral factor (TFH), serum thymic factor (FTS) (~~U.S. Patent No. 4,229,438~~), thymic factors [~~Medicine in Progress 125, No. 10, p.835-843 (1983)~~], tumor necrosis factor (TNF), colony stimulating factor

(CSF), motilin, dinorphin, bombesin, neurotensin, cerulein, bradykinin, urokinase, asparaginase, kallikrein, substance P analogue and antagonist, nerve growth factor, blood coagulation factors VIII and IX, lysozyme chloride, polymixin B, colistin, gramicidin, bacitracin, protein synthesis stimulating peptides (British patent No. 8232082), gastric inhibitory polypeptide (GIP), vasoactive intestinal polypeptide (VIP), platelet-derived growth factor (PDGF), growth hormone factor (GRF, somatocrinin), bone morphogenetic protein (BMP), epidermal growth factor (EGF), bleomycin, methotrexate, actinomycin D, mitomycin C, vinblastine sulfate, vincristine sulfate, daunorubicin, adriamycin, neocarzinostatin, cytosine arabinoside, fluorouracil, tetrahydrofuryl-5-fluorouracil, krestin, picibanil, lentinan, levamisole, bestatin, azimexon, glycyrrhizin, poly I:C, poly A:U and poly ICLC, gentamicin, dibekacin, kanendomycin, lividomycin, tobramycin, amikacin, fradiomycin, sisomicin, tetracycline hydrochloride, oxytetracycline hydrochloride, rolitetracycline, doxycycline hydrochloride, ampicillin, piperacillin, ticarcillin, cephalothin, cephaloridine, cefotiam, cefsulodin, cefmenoxime, cefmetazole, cefazolin, cefotaxime, cefoperazone, ceftizoxime, moxolactam, latamoxef, thienamycin, sulfazecin, azthreonam, sodium salicylate, sulpyrine, sodium flufenamate, sodium diclofenac, sodium indomethacin, morphine hydrochloride, pethidine, levorphanol tartrate, oxymorphone, ephedrine, methylephedrine, noscapine, codeine phosphate, dihydrocodeine, phosphate, alloclamide, chlophedianol, picoperidamine, cloperastine, protokylol, isoproterenol, salbutamol, terbutaline sulfate, chlorpromazine, prochlorperazine, trifluoperazine, atropine sulfate, scopolamine methylbromide, pridinol methanesulfonate, tubocurarine chloride and pancuronium bromide, sodium phenytoin, ethosuximide, sodium acetazolamide, chlordiazepoxide hydrochloride, metoclopramide and L-histidine monohydrochloride, imipramine, clomipramine, noxiptiline, phenelzine sulfate, diphenhydramine, chlorpheniramine maleate, tripelenamine, methdilazine, clemizole, diphenylpyraline, methoxyphenamine, trans-p-oxocamphor, theophyllol, aminophylline, etilefrine, propranolol, alprenolol, bufetolol, oxyprenolol, oxyfedrine, diltiazem, tolazoline, hexobendine, bamethan sulfate, hexamethonium bromide, pentolinium, mecamlamine, ecarazine, clonidine, sodium glymidine, glypizide, phenformin, buformin, metformin, sodium heparin, sodium citrate, thromboplastin, thrombin, menadione sodium bisulfite, acetomenaphthone, .epsilon.-amino-caproic acid,

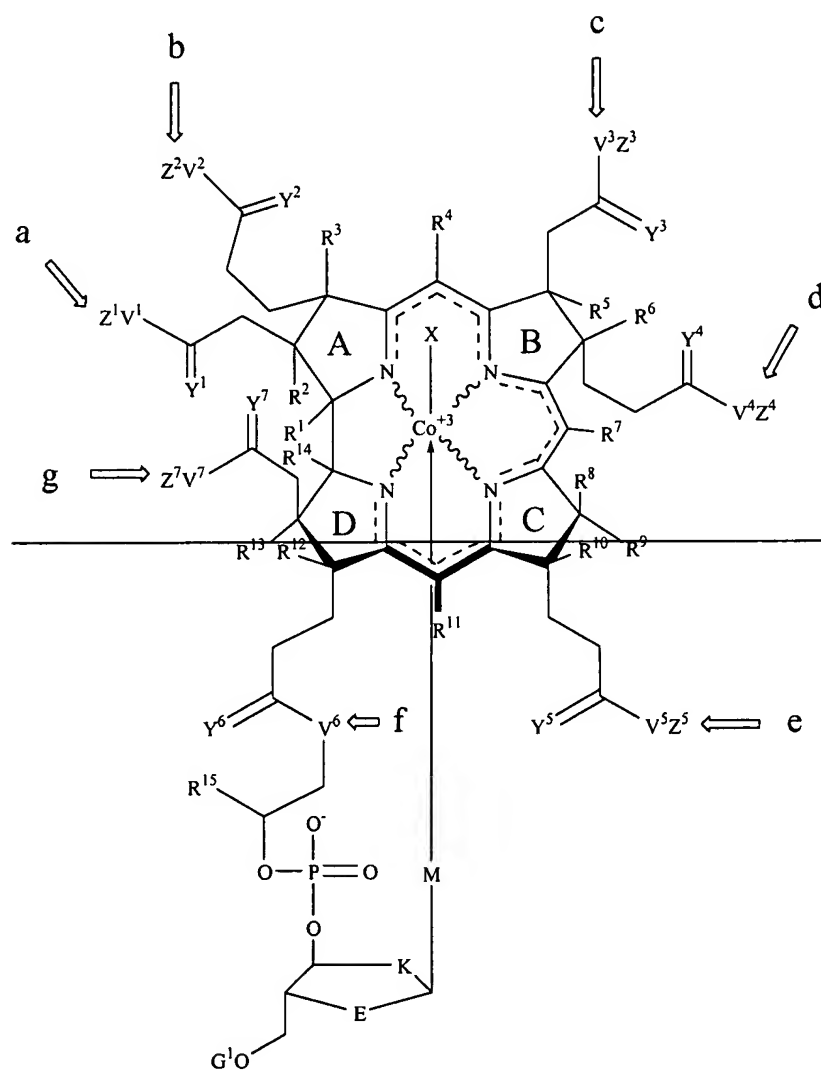
tranexamic acid, carbazochrome sodium sulfonate, adrenochrome monoaminoguanidine methanesulfonate, isoniazid, ethambutol, sodium p-aminosalicylate, prednisolone succinate, prednisolone sodium phosphate, dexamethasone sodium sulfate, betamethasone sodium phosphate, hexestrol phosphate, hexestrol acetate, methimazole, levallorphan tartrate, nalorphine hydrochloride and naloxone hydrochloride; a protein derived from or immunogens against influenza, measles, Rubella, smallpox, yellow fever, diphtheria, tetanus, cholera, plague, typhus, BCG, tuberculosis causing agents, Haemophilus influenzae, Neisseria catarrhalis, Klebsiella pneumoniae, pneumococci, streptococci; a secretory product derived from diphtheria, tetanus, cholera, plague, typhus, tuberculosis causing agents, Haemophilus influenzae, Neisseria catarrhalis, Klebsiella pneumoniae, pneumococci, streptococci, Streptococcus mutans, or is derived from a malarial parasite or the causative agent of coccidiosis in chickens.

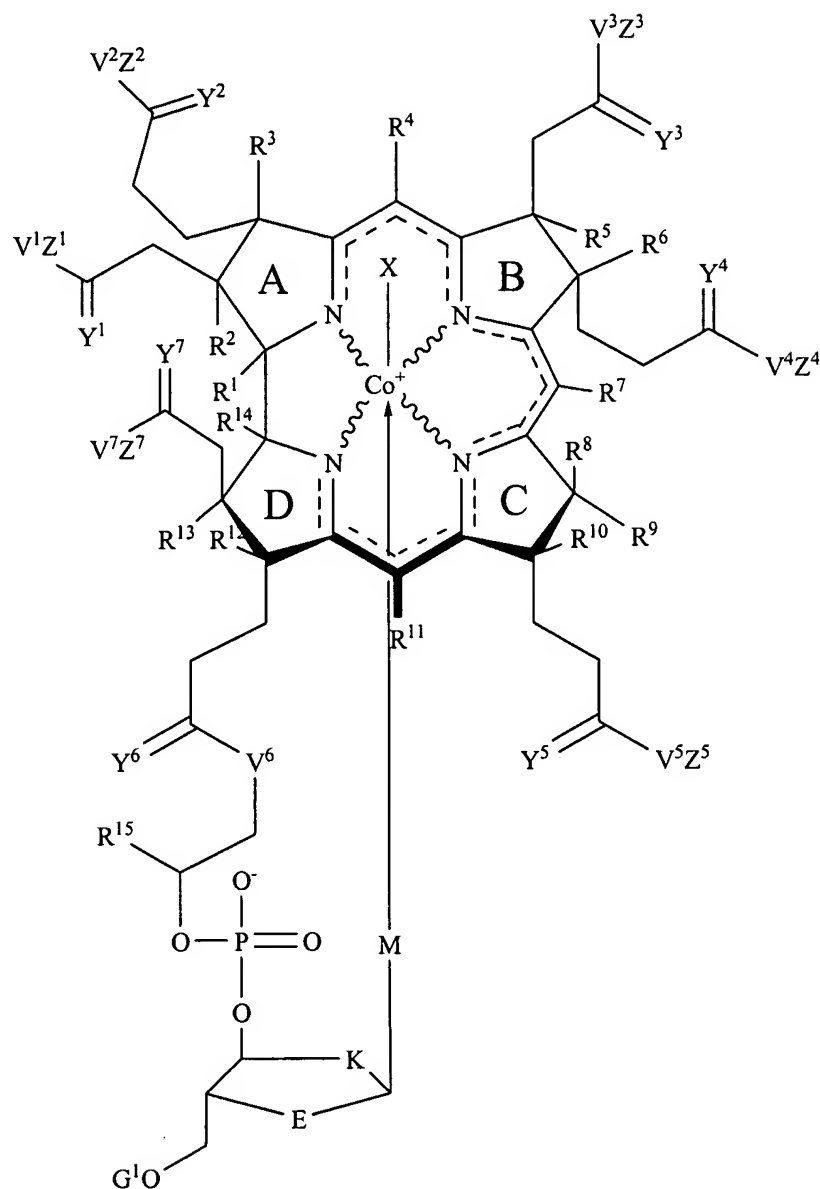
12. (currently amended) A pharmaceutical composition for the treatment, prophylaxis and/or diagnosis of a proliferative disorder in a host comprising a compound of any one of claims ~~1-11~~, 1, 2, 3, 6, 8, or 11, or the pharmaceutically acceptable salt thereof, in combination with a pharmaceutically acceptable carrier.
13. (currently amended) A pharmaceutical composition for the treatment, prophylaxis and/or diagnosis of a proliferative disorder in a host comprising a compound of any one of claims ~~1-11~~, 1, 2, 3, 6, 8, or 11, or the pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier, in combination with one or more other therapeutic and/or diagnostic agent(s).
14. (currently amended) The pharmaceutical composition of claim ~~12 or 13~~, wherein the host is a human.



15. (currently amended) A method for the treatment, prophylaxis and/or diagnosis of a proliferative disorder in a host comprising administering an effective amount of a compound of any one of claims ~~1-11~~, 1, 2, 3, 6, 8, or 11, or the pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier.
16. (currently amended) A method for the treatment, prophylaxis and/or diagnosis of a proliferative disorder in a host comprising administering an effective amount of a compound of any one of claims ~~1-11~~, 1, 2, 3, 6, 8, or 11, or the pharmaceutically acceptable salt thereof, optionally in a pharmaceutically acceptable carrier, in combination or alternation with one or more other effective therapeutic and/or diagnostic agent.
17. (currently amended) The method of claim ~~15 or 16~~, wherein the host is a human.

18. (currently amended) A method for the treatment, prophylaxis and/or diagnosis of a proliferative disorder other than neoplasms in a host comprising administering an effective amount of a compound of the formula (I):





(I)

or its enantiomer, diastereomer or its pharmaceutically acceptable salt, wherein the compound is administered by parenteral, intrathecal, topical, vaginal, by nasal spray, or by surgical implant administration; and wherein:

- (i) the wavy line in the chemical structure indicates either a dative or covalent bond such that there are three dative Co-N bonds and one covalent Co-N bond, wherein, in the case of the dative bond, the valence of nitrogen is completed either with a double bond with an adjacent ring carbon or with a hydrogen;

- (ii) the dotted line in the chemical structure indicates either a double or single bond such that the double bond does not form pentavalent carbons or otherwise over-extend the valence of the element (i.e. to give pentavalent carbons) and, in the case of a single bond, the valence is completed with hydrogen;
- (iii) X is hydrogen, cyano, ~~halogen~~ (Cl, F, Br, [ or ] I), CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, CF<sub>2</sub>Cl, or other haloalkyl (including CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub> and CF<sub>2</sub>Cl), NO, NO<sub>2</sub>, NO<sub>3</sub>, alkyl-P(O)<sub>2</sub>OR<sup>15</sup>, or other phosphonate (including alkyl P(O)<sub>2</sub>OR<sup>15</sup>), PR<sup>15</sup>R<sup>16</sup>R<sup>17</sup>, NH<sub>2</sub>, NR<sup>15</sup>R<sup>16</sup>, OH, OR<sup>15</sup>, SR<sup>15</sup>, SCN, N<sub>3</sub>, OC(O)R<sup>15</sup>, C(O)<sub>2</sub>R<sup>15</sup>, C(O)R<sup>15</sup>, OC(O)NR<sup>15</sup>R<sup>16</sup>, C(O)<sub>2</sub>NR<sup>15</sup>R<sup>16</sup>, C(O)NR<sup>15</sup>R<sup>16</sup>, P(O)<sub>2</sub>OR<sup>15</sup>, S(O)<sub>2</sub>OR<sup>15</sup>, a purine or pyrimidine nucleoside or nucleoside analog, adenosyl, 5-FU, alkyl, alkenyl, alkynyl, aryl, aralkyl, alkaryl, amino acid, peptide, protein, carbohydrate, heteroalkyl, heterocycle, heteroaryl or alkylheteroaryl;
- (iv) M is a monovalent heterocycle or heteroaromatic, which is capable of binding to the adjacent sugar ring, and forming a dative bond with ~~Co<sup>+3</sup>~~ Co<sup>+</sup>;
- (v) K is O, S, NJ<sup>1</sup>, C(OH)H, CR<sup>100</sup>R<sup>101</sup> or C(R<sup>100</sup>)V<sup>8</sup>Z<sup>8</sup>;
- (vi) E is O or S;
- (vii) G<sup>1</sup> is hydrogen, alkyl, acyl, silyl, phosphate or L-T;
- (viii) Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup>, Y<sup>4</sup>, Y<sup>5</sup>, Y<sup>6</sup> and Y<sup>7</sup> independently are O, S or NJ<sup>2</sup>;
- (ix) V<sup>1</sup>, V<sup>2</sup>, V<sup>3</sup>, V<sup>4</sup>, V<sup>5</sup>, V<sup>6</sup>, V<sup>7</sup> and V<sup>8</sup> independently are O, S, NJ<sup>3</sup>, CR<sup>102</sup>R<sup>103</sup> or a direct bond;
- (x) Z<sup>1</sup>, Z<sup>2</sup>, Z<sup>3</sup>, Z<sup>4</sup>, Z<sup>5</sup>, Z<sup>7</sup> and Z<sup>8</sup> independently are R<sup>104</sup> or L-T;
- (xi) each L is independently a direct bond or linker, to one or more T moieties, and ~~that does not significantly impair the ability of the TC or IF binding carrier to bind to a transcobalamin receptor, such that the compound displays a binding affinity to a transcobalamin receptor of at least 50% of the binding affinity displayed by vitamin B<sub>12</sub>, optionally when bound to a transport protein;~~

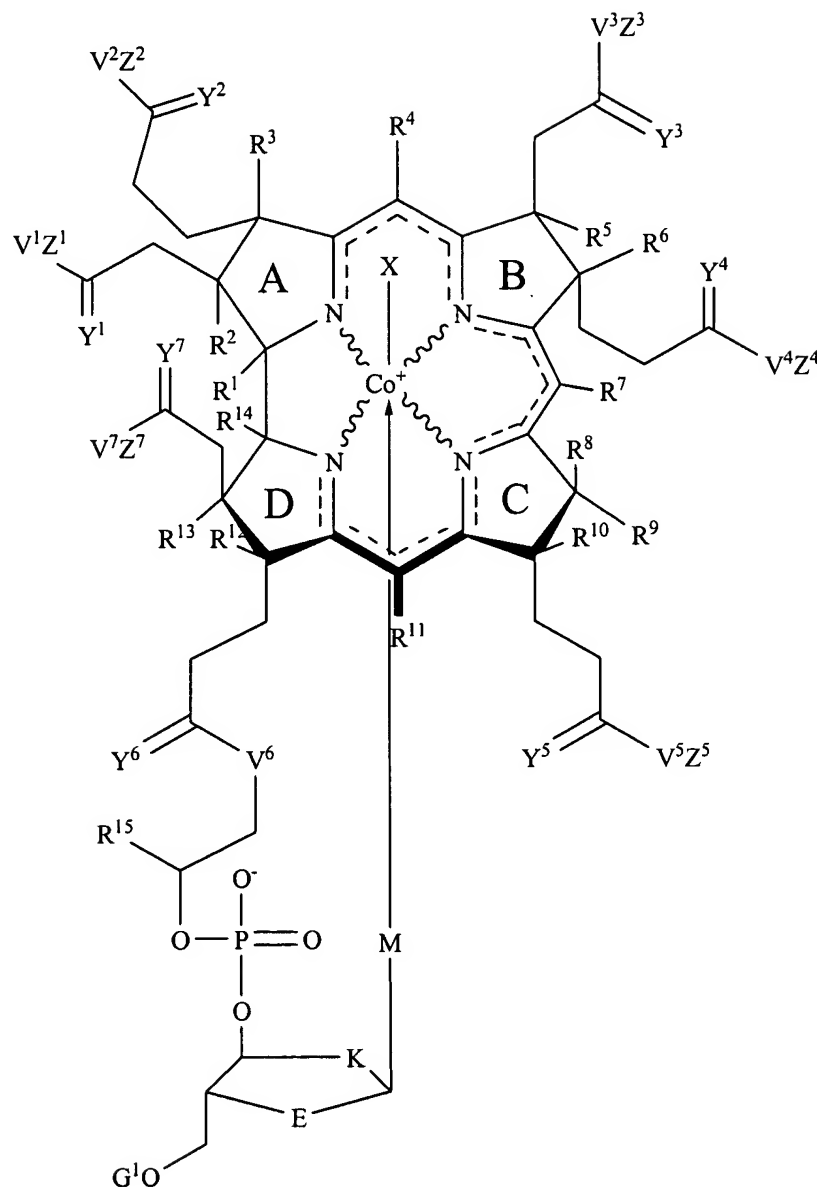
- (xii) each T independently comprises the residue of a therapeutic and/or diagnostic agent effective for the treatment, prophylaxis and/or diagnosis of a proliferative disorder, optionally bound through a chelating moiety;
- (xiii) at least one of  $Z^1$ ,  $Z^2$ ,  $Z^3$ ,  $Z^4$ ,  $Z^5$ ,  $Z^7$ ,  $Z^8$ , K and  $G^1$  is L-T;
- (xiv)  $J^1$ ,  $J^2$  and  $J^3$  independently are hydrogen, alkyl, alkenyl, alkynyl, alkaryl, cycloalkyl, aryl, cycloaryl, heteroalkyl, heterocycle, heteroaryl, hydroxyl, alkoxy or amine;
- (xv)  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  independently are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, heteroalkyl, heterocyclic, lower alkoxy, azido, amino, lower alkylamino, halogen, thiol,  $SO_2$ ,  $SO_3$ , carboxylic acid,  $C_{1-6}$  carboxyl, hydroxyl, nitro, cyano, oxime or hydrazine;
- (xvi)  $R^{13}$  and  $R^{14}$  optionally can form a double bond;
- (xvii)  $R^{15}$ ,  $R^{16}$  and  $R^{17}$  are independently hydrogen, alkyl, alkenyl, alkynyl, aryl, alkaryl or aralkyl group, heteroalkyl, heterocycle or heteroaromatic; and
- (xviii)  $R^{100}$ ,  $R^{101}$ ,  $R^{102}$ ,  $R^{103}$ , and  $R^{104}$  are independently hydrogen, alkyl, alkenyl, alkynyl, aryl, acyl, heteroaromatic, heteroaryl, heteroalkyl, hydroxyl, alkoxy, cyano, azido, halogen, nitro,  $SO_2$ ,  $SO_3$ , thioalkyl or amino;

optionally in a pharmaceutically acceptable carrier.

19. (original) A method for the treatment, prophylaxis and/or diagnosis of a proliferative disorder other than neoplasms in a host comprising administering an effective amount of a compound of claim 18, optionally in a pharmaceutically acceptable carrier, in combination or alternation with one or more other effective therapeutic and/or diagnostic agent(s).

20. (currently amended) The method of claim 18 or 19, wherein at least one L is a linker of unimodal ~~singular~~-molecular weight.
21. (currently amended) The method of claim 18 or 19, wherein at least one L is independently an amine, a polyamine, ~~an~~ a natural amino acid in D- or L- form, an unnatural amino acid residue having one or more open valences, a natural or unnatural amino acid bearing an amino protecting group, a natural or unnatural amino acid protected at carboxy with a protecting group, a poly(amino acid) or peptide linker.
22. (original) The method of claim 18 or 19, wherein at least one -L-T is independently a poly(amino acid) residue bound to one or more T.
23. (original) The method of claim 22, wherein at least one -L-T is independently a poly-L-lysine -NR'(CH((CH<sub>2</sub>)<sub>4</sub>-NHR')CONR')<sub>m</sub>R', wherein each R' is independently hydrogen, lower alkyl or T; and m is 2-20.
24. (original) The method of claim 18 or 19, wherein at least one -L-T is independently a polyamine residue of the formula -NR'(alkylene-NR')<sub>n</sub>alkyleneNR'R', wherein each R' is independently hydrogen, lower alkyl or T and n is 1-20.
25. (original) The method of claim 24, wherein -NR'(alkylene-NR')<sub>n</sub>alkyleneNR' is selected from the group consisting of -NR'(CH<sub>2</sub>)<sub>3</sub>NR'(CH<sub>2</sub>)<sub>4</sub>NR'-(CH<sub>2</sub>)<sub>3</sub>NR'R' (spermine); -NR'(CH<sub>2</sub>)<sub>3</sub>NR'(CH<sub>2</sub>)<sub>4</sub>-NR'R' (spermidine); deca-methylene tetraamine and pentamethylene hexamine.

26. (original) The method of claim 18 or 19, wherein at least one -L-T is independently a diamine residue of the formula  $\text{-NR' (alkylene)}_x\text{NR'R'}$ , wherein each R' is independently hydrogen, lower alkyl or T and x is 2-20.
27. (original) The method of claim 26, wherein  $\text{-NR' (alkylene)}_x\text{NR'R'}$  is selected from the group consisting of 1,6-diaminohexane, 1,5-diaminopentane, 1,4-diaminobutane and 1,3-diaminopropane.
28. (currently amended) The method of any one of claims 18 or 19, ~~18-27~~, wherein the host is a human.
29. (new) The pharmaceutical composition of claim 13, wherein the host is a human.
30. (new) The method of claim 16, wherein the host is a human.
31. (new) A compound of the formula (I):



(I)

or its enantiomer, diastereomer or its pharmaceutically acceptable salt, wherein:

- (i) the wavy line in the chemical structure indicates either a dative or covalent bond such that there are three dative Co-N bonds and one covalent Co-N bond, wherein, in the case of the dative bond, the valence of nitrogen is completed either with a double bond with an adjacent ring carbon or with a hydrogen;



- (ii) the dotted line in the chemical structure indicates either a double or single bond such that the double bond does not form a pentavalent carbon or otherwise over-extend the valence of the element and, in the case of a single bond, the valence is completed with hydrogen;
- (iii) X is hydrogen, cyano, Cl, F, Br, I, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, CF<sub>2</sub>Cl, or other haloalkyl, -NO, NO<sub>2</sub>, NO<sub>3</sub>, alkyl-P(O)<sub>2</sub>OR<sup>15</sup>, or other phosphonate, -PR<sup>15</sup>R<sup>16</sup>R<sup>17</sup>, NH<sub>2</sub>, NR<sup>15</sup>R<sup>16</sup>, OH, OR<sup>15</sup>, SR<sup>15</sup>, SCN, N<sub>3</sub>, OC(O)R<sup>15</sup>, C(O)<sub>2</sub>R<sup>15</sup>, C(O)R<sup>15</sup>, OC(O)NR<sup>15</sup>R<sup>16</sup>, C(O)<sub>2</sub>NR<sup>15</sup>R<sup>16</sup>, C(O)NR<sup>15</sup>R<sup>16</sup>, P(O)<sub>2</sub>OR<sup>15</sup>, S(O)<sub>2</sub>OR<sup>15</sup>, a purine or pyrimidine nucleoside or nucleoside analog, adenosyl, 5-FU, alkyl, alkenyl, alkynyl, aryl, aralkyl, alkaryl, amino acid, peptide, protein, carbohydrate, heteroalkyl, heterocycle, heteroaryl or alkylheteroaryl;
- (iv) M is a monovalent heterocycle or heteroaromatic, which is capable of binding to the adjacent sugar ring, and forming a dative bond with  $\text{Co}^{+3}$  Co<sup>+</sup>;
- (v) K is O, S, NJ<sup>1</sup>, C(OH)H, CR<sup>100</sup>R<sup>101</sup> or C(R<sup>100</sup>)V<sup>8</sup>Z<sup>8</sup>;
- (vi) E is O or S;
- (vii) G<sup>1</sup> is hydrogen, alkyl, acyl, silyl, phosphate or L-T;
- (viii) Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup>, Y<sup>4</sup>, Y<sup>5</sup>, Y<sup>6</sup> and Y<sup>7</sup> independently are O, S or NJ<sup>2</sup>;
- (ix) V<sup>1</sup>, V<sup>2</sup>, V<sup>3</sup>, V<sup>4</sup>, V<sup>5</sup>, V<sup>6</sup>, V<sup>7</sup> and V<sup>8</sup> independently are O, S, NJ<sup>3</sup>, CR<sup>102</sup>R<sup>103</sup> or a direct bond;
- (x) Z<sup>2</sup> is L-T;
- (xi) each L is independently a direct bond or a linker of a unimodal molecular weight, wherein the linker is linked to one or more T moieties, such that the compound displays a binding affinity to a transcobalamin receptor of at least 50% of the binding affinity displayed by vitamin B<sub>12</sub>, optionally when bound to a transport protein;

- (xii) each T independently comprises the residue of a therapeutic and/or diagnostic agent effective for the treatment, prophylaxis and/or diagnosis of a proliferative disorder, optionally bound through a chelating moiety;
- (xiii)  $J^1$ ,  $J^2$  and  $J^3$  independently are hydrogen, alkyl, alkenyl, alkynyl, alkaryl, cycloalkyl, aryl, cycloaryl, heteroalkyl, heterocycle, heteroaryl, hydroxyl, alkoxy or amine;
- (xiv)  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  independently are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, heteroalkyl, heterocyclic, lower alkoxy, azido, amino, lower alkylamino, halogen, thiol,  $SO_2$ ,  $SO_3$ , carboxylic acid,  $C_{1-6}$  carboxyl, hydroxyl, nitro, cyano, oxime or hydrazine;
- (xv)  $R^{13}$  and  $R^{14}$  optionally can form a double bond;
- (xvi)  $R^{15}$ ,  $R^{16}$  and  $R^{17}$  are independently hydrogen, alkyl, alkenyl, alkynyl, aryl, alkaryl or aralkyl group, heteroalkyl, heterocycle or heteroaromatic; and
- (xvii)  $R^{100}$ ,  $R^{101}$ ,  $R^{102}$ , and  $R^{103}$  are independently hydrogen, alkyl, alkenyl, alkynyl, aryl, acyl, heteroaromatic, heteroaryl, heteroalkyl, hydroxyl, alkoxy, cyano, azido, halogen, nitro,  $SO_2$ ,  $SO_3$ , thioalkyl or amino;
- (xviii) wherein at least one of  $Y^1$ ,  $Y^2$ ,  $Y^3$ ,  $Y^4$ ,  $Y^5$ ,  $Y^6$ ,  $Y^7$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ ,  $R^{16}$ ,  $R^{17}$ ,  $R^{100}$ ,  $R^{101}$ ,  $R^{102}$ ,  $R^{103}$ ,  $G^1$ , E, K, M,  $V^1$ ,  $V^2$ ,  $V^3$ ,  $V^4$ ,  $V^5$ ,  $V^6$ ,  $V^7$ , and  $V^8$  is not as it is found in natural vitamin B<sub>12</sub>.